$$\begin{array}{c} & \text{R} \\ | \\ \text{H}_2\text{N}-\text{CH}_2-\text{CH}_2 \end{array}$$

RN 331822-91-4 HCAPLUS CN Pseudomycin B, 8-[(3S)-N-cyclobutyl-3-hydroxy-L-asparagine]- (9CI) (CA INDEX NAME)

RN 331822-92-5 HCAPLUS CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-(2-hydroxyethyl)-L-asparagine]- (9CI) (CA INDEX NAME)

RN 331822-93-6 HCAPLUS
CN Pseudomycin B, 8-[(3S)-N-[2-(dimethylamino)ethyl]-3-hydroxy-L-asparagine](9CI) (CA INDEX NAME)

RN 331822-94-7 HCAPLUS
CN Pseudomycin B, 8-[(3S)-N-[3-(dimethylamino)propyl]-3-hydroxy-L-asparagine](9CI) (CA INDEX NAME)

RN 331822-95-8 HCAPLUS
CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-(2-methoxy-2-oxoethyl)-L-asparagine](9CI) (CA INDEX NAME)

RN 331822-96-9 HCAPLUS

CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-[(1S)-2-methoxy-2-oxo-1-(phenylmethyl)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

## IT 277758-37-9 319015-31-1

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and antifungal activity of pseudomycin B amides)

RN 277758-37-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 1-C

319015-31-1 HCAPLUS RN

Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME) CN

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REFERENCE COUNT: 11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:64019 HCAPLUS

DOCUMENT NUMBER:

134:101199

TITLE: INVENTOR(S): Preparation of pseudomycin amide and ester analogs Chen, Shu Hui; Galka, Christopher Stanley; Hellman, Sarah Lynne; Krstenansky, John L.; Rodriguez, Michael John; Sun, Xicheng David; Usyatinsky, Alexander Ya.;

Vasudevan, Venkatraghavan; Zweifel, Mark James

PATENT ASSIGNEE(S):

SOURCE:

Eli Lilly and Company, USA

PCT Int. Appl., 80 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND D		DATE			Al	PPLI(	CATI	ои ис	o.	DATE					
 WO	WO 2001005817			 A1		20010125			WO 2000-US15021 20000608 AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,										
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		LV,	MA.	MD.	MG.	MK.	MN,	MW,	MX,	MΖ,	NO,	NΖ,	PL,	РΤ,	RO,	Rυ,	SD,		
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BR	2000	000013163		A 20020402			BR 2000-13163 20000608												
EP	1198473			A1		20020424			EP 2000-942656 20000608 R, GB, GR, IT, LI, LU, NL, SE, M								- m		
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL									
			T2 20030212			JP 2001-511474						20000608							
NO 2002000186				A 2002			0304	NO 2002-186					P	2002					
ORITY APPLN. INFO									US 1				19990715						
									WO 2	000-	US15	021	W	2000	0608				

OTHER SOURCE(S):

MARPAT 134:101199

GΙ

Pseudomycin analogs I [R is a substituent having alkyl, acylaminomethyl, AΒ Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = NH2 or protected amino; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, Cbz-protected pseudomycin B was treated with ethanol or cyclopropylamine to yield the di-Et ester and the monocyclopropylamide (COR2-position), resp., following deprotection. Fungicidal activity as a function of amidation position is discussed.

Ι

319497-03-5P 319497-04-6P 319497-05-7P IT 319497-06-8P 319497-07-9P 319497-10-4P 319497-12-6P 319497-16-0P 319497-17-1P

319497-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pseudomycin amide and ester analogs)

319497-03-5 HCAPLUS RN

Pseudomycin B, diethyl ester (9CI) (CA INDEX NAME) CN

RN 319497-04-6 HCAPLUS CN Pseudomycin B, dipropyl ester (9CI) (CA INDEX NAME)

RN 319497-05-7 HCAPLUS CN Pseudomycin B, 3-pentyl ester (9CI) (CA INDEX NAME)

RN 319497-06-8 HCAPLUS CN Pseudomycin B, 8-pentyl ester (9CI) (CA INDEX NAME)

RN 319497-07-9 HCAPLUS CN Pseudomycin B, 3-L-asparagine- (9CI) (CA INDEX NAME)

RN 319497-10-4 HCAPLUS CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 319497-12-6 HCAPLUS CN Pseudomycin B, 3-[N-(3-pyridinylmethyl)-L-asparagine]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 319497-16-0 HCAPLUS CN Pseudomycin B, 8-[(3S)-N-butyl-3-hydroxy-L-asparagine]- (9CI) (CA INDEX NAME)

RN 319497-17-1 HCAPLUS CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-[2-(4-morpholinyl)ethyl]-L-asparagine]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-N$$

RN 319497-19-3 HCAPLUS CN Pseudomycin B, 3-(N-heptyl-L-asparagine)- (9CI) (CA INDEX NAME)

RN 277758-37-9 HCAPLUS

Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

319015-31-1P 319497-02-4P 319497-08-0P 319497-09-1P 319497-11-5P 319497-13-7P 319497-14-8P 319497-15-9P 319497-18-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pseudomycin amide and ester analogs)

319015-31-1 HCAPLUS RN

Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic CN acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 1-C

319497-02-4 HCAPLUS RN

Pseudomycin A, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic CN acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

~ (CH2) 9

319497-08-0 HCAPLUS RN

Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-L-asparagine-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 1-C

RN 319497-09-1 HCAPLUS
Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

RN 319497-11-5 HCAPLUS

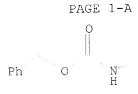
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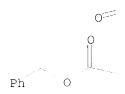
PAGE 1-C

RN 319497-13-7 HCAPLUS
Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-(3-pyridinylmethyl)-L-asparagine]-4-[N6[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 2-B

RN 319497-14-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[(1S)-5-amino-1-(methoxycarbonyl)pentyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)





PAGE 1-C

RN 319497-15-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[(phenylmethoxy)carbonyl]amino]butanoic acid]-8-[(3S)-N-butyl-3-hydroxy-L-asparagine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 319497-18-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-8-[(3S)-3-hydroxy-N-[2-(4-morpholinyl)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

PAGE 1-C



REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

5

ACCESSION NUMBER:

2001:64018 HCAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

134:101198

TITLE:

Preparation of amine-modified pseudomycin compounds Chen, Shu Hui; Jamison, James Andrew; Rodriguez,

Michael John; Sun, Xicheng David; Vasudevan,

Venkatraghavan; Zweifel, Mark James

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	ΝL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG				
В	BR 2000013168			A 20020402				В	R 20	00-1	3168		20000608					
E)	EP 1198472			A1 20020424				EP 2000-939447						20000608				
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	IE, SI,																	
J:	JP 2003505398					T2 20030212			01 2001 011.0						20000608			
N	NO 2002000194					A 20020314												
PRIORI'	PRIORITY APPLN. INFO					. :				US 1999-143839P P								
							WO 2000-US15019 W					20000608						
OTHER :	SOURCE	(S):			MAF	RPAT	134:	1011	98									

Page 171

Amine-modified pseudomycin compds. I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = H, formyl, acylalkyl, acylalkylamine, acylazaalkyl, acyloxyalkene, acyloxyaryl, or acylmethylenecarbamate, provided that at least one R1 is not H; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin B was treated with Cbz-Gly-ONSu (Cbz = benzyloxycarbonyl, NSU = succinimide residue) to yield the N,N',N''-tri-glycyl derivative, following deprotection.

I

139203-14-8, Pseudomycin b

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of amine-modified pseudomycin compds.)

RN 139203-14-8 HCAPLUS

ΙT

CN Pseudomycin B (9CI) (CA INDEX NAME)

319015-35-5P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of amine-modified pseudomycin compds.) 319015-35-5 HCAPLUS

RN

Pseudomycin B, 2-[N4-[N-[(phenylmethoxy)carbonyl]glycyl]-(2R)-2,4diaminobutanoic acid]-4-[N6-[N-[(phenylmethoxy)carbonyl]glycyl]-L-lysine]-5-[N4-[N-[(phenylmethoxy)carbonyl]glycyl]-(2S)-2,4-diaminobutanoic acid]-(9CI) (CA INDEX NAME)

PAGE 1-C

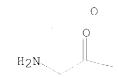
319015-20-8P 319015-27-5P 319015-31-1P IT

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amine-modified pseudomycin compds.)

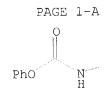
319015-20-8 HCAPLUS

Pseudomycin B, 2-[N4-glycyl-(2R)-2,4-diaminobutanoic acid]-4-(N6-glycyl-L-CN lysine) -5-[N4-glycyl-(2S)-2,4-diaminobutanoic acid] - (9CI) (CA INDEX NAME)



319015-27-5 HCAPLUS

Pseudomycin B, 2-[(2R)-2-amino-4-[(phenoxycarbonyl)amino]butanoic acid]-4-[N6-(phenoxycarbonyl)-L-lysine]-5-[(2S)-2-amino-4-[(phenoxycarbonyl)amino]butanoic acid]- (9CI) (CA INDEX NAME)





PAGE 1-C

319015-31-1 HCAPLUS RN

Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic CN acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

26/05/2004

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THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS 2 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:64017 HCAPLUS

DOCUMENT NUMBER:

134:101197

TITLE:

Process for deacylation of lipodepsipeptides

Kreuzman, Adam Joseph; Kulanthaivel, Palaniappan; INVENTOR(S): Rodriguez, Michael John

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 33 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE										
WO 2001005815	A1 20010125	WO 2000-US15018 20000608										
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CF. CG.	CI, CM, GA, GN,	GW, ML, MR, NE, SN, TD, TG										
BR 2000012481	A 20020402	BR 2000-12481 20000608										
FP 1198471	A1 20020424	EP 2000-938006 20000608										
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JP 2003505042	T2 20030212	JP 2001-511472 20000608										
NO 2002000183	A 20020313	NO 2002-183 20020114										
PRIORITY APPLN. INFO	).:	US 1999-143968P P 19990715										
		WO 2000-US15018 W 20000608										
OTHER SOURCE(S): CASREACT 134:101197												
AB A process for deacylating an N-acyl side chain of a pseudomycin												

product comprises reacting the pseudomycin with a deacylating enzyme to produce the pseudomycin nucleus. Thus, treating pseudomycin A with purified ECB deacylase in aqueous buffered solution afforded a compound (C37H61ClN12O17) resulting from cleavage of the 2,4-dihydroxytetradecanoyl group and an isomer resulting from rearrangement of the pseudomycin hydroxy nucleus [OCH2CHNH2  $\rightarrow$  NHCH(CH2OH)].

139203-13-7, Pseudomycin a 139203-14-8, Pseudomycin b 139203-15-9, Pseudomycin c 162443-73-4, Pseudomycin c' 301533-14-2, Pseudomycin A' 301533-15-3, Pseudomycin B' RL: RCT (Reactant); RACT (Reactant or reagent) (deacylation of lipodepsipeptides)

RN 139203-13-7 HCAPLUS CN Pseudomycin A (9CI) (CA INDEX NAME)

RN 139203-14-8 HCAPLUS CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS CN Pseudomycin C (9CI) (CA INDEX NAME)

RN 162443-73-4 HCAPLUS CN Pseudomycin C' (9CI) (CA INDEX NAME)

RN 301533-14-2 HCAPLUS L-Threonine, N-(3,4-dihydroxy-1-oxopentadecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L- $\alpha$ -aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L- $\alpha$ -aspartyl-4-chloro-, (9+13)-lactone (9CI) (CA INDEX NAME)

RN 301533-15-3 HCAPLUS L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L- $\alpha$ -aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L- $\alpha$ -aspartyl-4-chloro-, (9+13)-lactone (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:64016 HCAPLUS

DOCUMENT NUMBER:

134:116242

TITLE: INVENTOR(S):

Preparation of pseudomycin N-acyl side-chain analogs

Belvo, Matthew David; Chen, Shu Hui; Doecke,

Christopher William; Hellman, Sarah Lynne; Jamison, James Andrew; Patterson, Lawrence Edward; Rodriguez, Lawrence Edward; Sun, Xicheng David; Turner, William

Wilson; Vasudevan, Venkatraghavan; Zweifel, Mark James

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

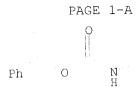
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    WO 2001005814
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            LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
            ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
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                                                          20000608
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PRIORITY APPLN. INFO.:
                                      WO 2000-US15017 W 20000608
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OTHER SOURCE(S):
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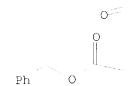
Pseudomycin analogs I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure] and their stereoisomers and pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, treating Cbz-protected pseudomycin nucleus with 3-(3-dodecylphenyl)-3-hydroxypropanoic acid (Q-OH, preparation given) and deprotection afforded I (R = Q) as a mixture of diastereomers.

Ι

#### 

Absolute stereochemistry. Double bond geometry as shown.





PAGE 1-B

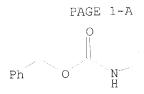
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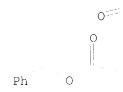
RN 321581-78-6 HCAPLUS

Pseudomycin A, 1-[N-[(3R)-3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

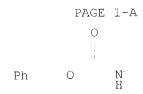


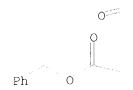


PAGE 1-C

RN 321581-79-7 HCAPLUS
CN Pseudomycin A, 1-[N-[(3S)-3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.





₹ 0

321581-87-7 HCAPLUS RN

Pseudomycin A, 1-[N-[(3R)-1-oxo-3-[[(phenylmethoxy)carbonyl]amino]tetradec yl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoicCN acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

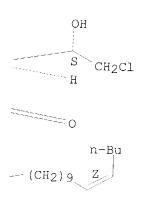
PAGE 1-C

RN 321581-91-3 HCAPLUS

Pseudomycin A, 1-[N-[(3R,13Z)-3-hydroxy-2,2-dimethyl-1-oxo-13-octadecenyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

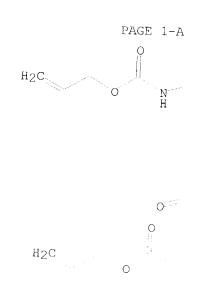
Double bond geometry as shown.



RN 321581-97-9 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-2,2-dimethyl-1-oxo-10,12-tetradecadienyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.



PAGE 1-C

==: o

307498-31-3P 307498-34-6P 321581-80-0P IT 321581-81-1P 321581-86-6P 321581-90-2P 321581-96-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pseudomycin N-acyl side-chain analogs)

RN 307498-31-3 HCAPLUS

Pseudomycin A, 1-[N-[(3S)-3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-L-CN serine] - (9CI) (CA INDEX NAME)

$$\stackrel{R}{\underset{\text{Me-CH}}{\parallel}}$$

PAGE 1-B

 $\sim$  (CH<sub>2</sub>)<sub>11</sub>-Me

PAGE 2-A

RN 307498-34-6 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-Lserine]- (9CI) (CA INDEX NAME)

PAGE 1-B

 $\sim$  (CH<sub>2</sub>)<sub>11</sub> Me

PAGE 2-A

RN 321581-80-0 HCAPLUS
CN Pseudomycin A, 1-[N-[3-(3-dodecylphenyl)-1-oxo-2-propenyl]-L-serine](9CI) (CA INDEX NAME)

$$\stackrel{R}{\parallel}$$
 Me $\stackrel{-}{\sim}$  CH

PAGE 1-B

 $\sim$  (CH<sub>2</sub>)<sub>11</sub>-Me

PAGE 2-A

RN 321581-81-1 HCAPLUS CN Pseudomycin A, 1-(N-tridecylglycyl-L-serine)- (9CI) (CA INDEX NAME)

RN 321581-86-6 HCAPLUS CN Pseudomycin A, 1-[N-[(3R)-3-amino-1-oxotetradecyl]-L-serine]- (9CI) (CA INDEX NAME)

RN 321581-90-2 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R,13Z)-3-hydroxy-2,2-dimethyl-1-oxo-13-octadecenyl]L-serine]- (9CI) (CA INDEX NAME)

PAGE 1-B

--NH2

RN 321581-96-8 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-2,2-dimethyl-1-oxo-10,12-tetradecadienyl]-L-serine]- (9CI) (CA INDEX NAME)

PAGE 1-A

RN 301533-15-3 HCAPLUS

CN L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L-α-aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L-α-aspartyl-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)

RN 303127-72-2 HCAPLUS

CN Pseudomycin B, hydrate (9CI) (CA INDEX NAME)

#### ●x H2O

L59 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:619252 HCAPLUS

DOCUMENT NUMBER:

134:5138

TITLE:

Syntheses and biological evaluation of novel

pseudomycin side-chain analogs. Part 2

AUTHOR(S):

Chen, S.-H.; Sun, X.; Boyer, R.; Paschal, J.; Zeckner,

D.; Current, W.; Zweifel, M.; Rodriguez, M.

CORPORATE SOURCE:

Lilly Corporate Center, A Division of Eli Lilly and Company, Lilly Research Laboratories, Indianapolis,

IN, 46285, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2000),

10(18), 2107-2110

CODEN: BMCLE8; ISSN: 0960-894X Elsevier Science Ltd.

PUBLISHER:

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:5138

A series of aliphatic side-chain analogs of pseudomycin was synthesized and biol. evaluated. We found that several of the pseudomycin side-chain analogs exhibited good in vitro activity against all three major fungi responsible for systemic fungal infections.

139203-14-8P, Pseudomycin B 307557-76-2P ΙT 307557-77-3P 307557-78-4P 307557-79-5P 307557-80-8P 307557-81-9P 308110-73-8P,

3'-epi-Pseudomycin B 308110-74-9P, 3'-rac-Pseudomycin B

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pseudomycin side-chain analogs as fungicides)

139203-14-8 HCAPLUS RN

Pseudomycin B (9CI) (CA INDEX NAME) CN

RN 307557-76-2 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA
INDEX NAME)

RN 307557-77-3 HCAPLUS
CN Pseudomycin A, 1-[N-[(3S)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA INDEX NAME)

RN 307557-78-4 HCAPLUS

CN Pseudomycin A, 1-[N-(3-hydroxy-1-oxooctadecyl)-L-serine]- (9CI) (CA INDEX NAME)

RN 307557-79-5 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxoeicosyl]-L-serine]- (9CI) (CA INDEX NAME)

RN 307557-80-8 HCAPLUS
CN Pseudomycin A, 1-[N-[(3S)-3-hydroxy-1-oxoeicosyl]-L-serine]- (9CI) (CA INDEX NAME)

RN 307557-81-9 HCAPLUS
CN Pseudomycin A, 1-[N-(3-hydroxy-1-oxoeicosyl)-L-serine]- (9CI) (CA INDEX NAME)

RN 308110-73-8 HCAPLUS

CN Pseudomycin B, 1-[N-[(3S)-3-hydroxy-1-oxotetradecyl]-L-serine]- (9CI) (CA INDEX NAME)

RN 308110-74-9 HCAPLUS

CN Pseudomycin B, 1-[N-(3-hydroxy-1-oxotetradecyl)-L-serine]- (9CI) (CA INDEX NAME)

# TT 307557-83-1P 307557-85-3P 307557-86-4P 307557-87-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pseudomycin side-chain analogs as fungicides)

RN 307557-83-1 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-C

RN 307557-85-3 HCAPLUS CN Pseudomycin B, 1-[N-(3

Pseudomycin B, 1-[N-(3-hydroxy-1-oxotetradecyl)-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

-(CH<sub>2</sub>)<sub>4</sub>-NH<sub>2</sub>

--- NH2

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:64015 HCAPLUS

DOCUMENT NUMBER:

134:116241

TITLE:

Preparation of pseudomycin prodrugs

INVENTOR(S):

Chen, Shu Hui; Rodriguez, Michael John; Sun, Xicheng

David

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA PCT Int. Appl., 66 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA 	PATENT NO.			KIND DATE				APPLICATION NO.						DATE			
BR	RW:	AE, CU, ID, LV, SE, ZA, GH, DE, CF,	AG, CZ, IL, MA, SG, ZW, GM, DK, CG,	AL, DE, IN, MD, SI, AM, KE, ES, CI,	AM, DK, IS, MG, SK, AZ, LS, FI, CM,	AT, DM, JP, MK, SL, BY, MW, FR, GA,	AU, DZ, KE, MN, TJ, KG, MZ, GB, GN,	AZ, EE, KG, MW, TM, KZ, SD, GR, GW,	BA, ES, KP, MX, TR, MD, SL, IE, ML,	BB, FI, KR, MZ, TT, RU, SZ, IT, MR,	BG, GB, KZ, NO, TZ, TJ, TZ, LU, NE,	BR, GD, LC, NZ, UA, TM UG, MC, SN,	BY, GE, LK, PL, UG, ZW, NL, TD,	CA, GH, LR, PT, US, AT, PT, TG	CH, GM, LS, RO, UZ, BE, SE,	CN, HR, LT, RU, VN,	HU, LU, SD, YU,
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AB Pseudomycin prodrugs I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = H, acyloxymethylene-1,3-dioxolen-2-one, or acyloxymethylenecarboxylate; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin C' was treated with 5-methyl-1,3-dioxolen-2-one-4-ylmethyl p-nitrophenyl carbonate (preparation given) to yield mono-, di-, and tri-substituted acyloxyalkylcarbamate prodrugs which were assayed for tail vein toxicity.

Ι

IT 321156-55-2P 321156-56-3P 321156-57-4P 321156-58-5P 321156-60-9P 321198-86-1P 321198-87-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pseudomycin prodrugs)

RN 321156-55-2 HCAPLUS

CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[((5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

0::::::

PAGE 1-B

PAGE 2-A

PAGE 2-B

RN 321156-56-3 HCAPLUS

CN Pseudomycin B, 5-[(2S)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]buta noic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

0.0

PAGE 1-B

RN 321156-57-4 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]buta noic acid]-4-[N6-[[(acetyloxy)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

H<sub>2</sub>N

RN 321156-58-5 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]buta noic acid]-4-[N6-[[(acetyloxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-B

PAGE 2-A

RN 321156-60-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]but anoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

### PAGE 1-C

RN 321198-86-1 HCAPLUS

CN Pseudomycin C', monoamide with 4-[(carboxyoxy)methyl]-5-methyl-1,3-dioxol-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 321198-85-0

CMF C6 H6 O6

CM 2

CRN 162443-73-4 CMF C53 H91 C1 N12 O19

RN 321198-87-2 HCAPLUS

CN Pseudomycin C', diamide with 4-[(carboxyoxy)methyl]-5-methyl-1,3-dioxol-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 321198-85-0 CMF C6 H6 O6

CM 2

CRN 162443-73-4

CMF C53 H91 Cl N12 O19

139203-14-8, Pseudomycin b 162443-73-4, Pseudomycin c' 321156-59-6

RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 162443-73-4 HCAPLUS

CN Pseudomycin C' (9CI) (CA INDEX NAME)

RN 321156-59-6 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[((2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[((2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[((2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-C

IT 277758-37-9P 307557-76-2P 307557-83-1P 319497-03-5P 319497-09-1P 319497-10-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pseudomycin prodrugs)

RN 277758-37-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



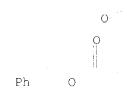
PAGE 1-B

RN 307557-76-2 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA INDEX NAME)

RN 307557-83-1 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.



RN 319497-03-5 HCAPLUS
CN Pseudomycin B, diethyl ester (9CI) (CA INDEX NAME)

RN 319497-09-1 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

319497-10-4 HCAPLUS RN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME) CN

PAGE 1-A

PAGE 2-A

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS 5 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER:

L59 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN 2000:756829 HCAPLUS 133:309068

TITLE:

INVENTOR(S):

Pseudomycin production by Pseudomonas syringae Hilton, Matthew Dale; Strobel, Robert Joseph, Jr.; Millar, Penelope Jane Beverly; Thomas, Dennis Nelson; Cockshott, Andrew Richard; Getman, Brian Gerald; Eastridge, Jack Richard; Cantwell, Cathleen Alice

PATENT ASSIGNEE(S):

SOURCE:

GΙ

Eli Lilly and Company, USA PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.				ND	DATE			APPLICATION NO.					DATE			
W(				A1 20001026			WO 2000-US8728						20000414				
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	ΗU,
		ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MΧ,	NO,	NZ,	PΙ,	PΤ,	RO,	RU,	SD,	SE,
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	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO										
ВІ	BR 2000010614					20020213			BR 2000-10614					20000414			
J:	JP 2002542262			T2		20021210			JP 2000-612424				4	20000414			
No	NO 2001004989								N	0 20	01-4	989		2001	1012		
PRIORITY APPLN. INFO									US 1	999-	1294	31P	Р	1999	0415		
									WO 2	000-	US87	28	W	2000	0414		

AB A process for producing one or more pseudomycins is described including cultures of Pseudomonas syringae that produce one or more pseudomycins having general formula (I) where R is a lipophilic moiety. Thus, Pseudomonas syringae strain 67H1 produced pseudomycins A, B, C, and C' at concns. of 243, 203, 71 and 40 mg/L resp. in a 5000 L fed batch fermentation The pseudomycins were then recovered from the harvested fermentation broth by microfiltration to remove cells followed by solvent extraction Extracted pseudomycins were further purified by ion exchange and reverse phase liquid chromatog.

139203-13-7P, Pseudomycin A 139203-14-8P, Pseudomycin B 139203-15-9P, Pseudomycin C 162443-73-4P, Pseudomycin C' 301533-14-2P, Pseudomycin A' 301533-15-3P, Pseudomycin B'

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (Pseudomycin production by Pseudomonas syringae)

RN 139203-13-7 HCAPLUS

CN Pseudomycin A (9CI) (CA INDEX NAME)

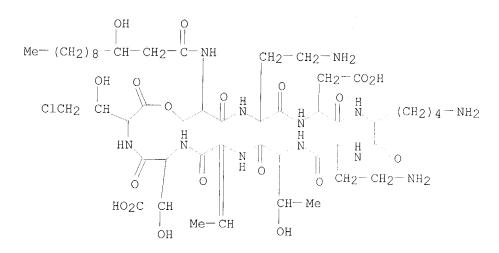
RN 139203-14-8 HCAPLUS CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS CN Pseudomycin C (9CI) (CA INDEX NAME)

RN 162443-73-4 HCAPLUS CN Pseudomycin C' (9CI) (CA INDEX NAME)

RN 301533-14-2 HCAPLUS L-Threonine, N-(3,4-dihydroxy-1-oxopentadecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L- $\alpha$ -aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L- $\alpha$ -aspartyl-4-chloro-, (9+13)-lactone (9CI) (CA INDEX NAME)

RN 301533-15-3 HCAPLUS
CN L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L-α-aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L-α-aspartyl-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

7

ACCESSION NUMBER: DOCUMENT NUMBER:

2000:756734 HCAPLUS

DOCUMEN

133:295439

TITLE:

SOURCE:

Pseudomycin antifungal natural products

INVENTOR(S):

Kulanthaivel, Palaniappan; Belvo, Matthew David;

Martin, James William; Perun, Thomas John, Jr.;

Zeckner, Douglas Joseph

PATENT ASSIGNEE(S):

Eli Lilly and Co., USA; Perun, Thomas John, Jr.

PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

## PATENT INFORMATION:

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APPLICATION NO. DATE
    PATENT NO. KIND DATE
                                             ______
    WO 2000063237 A2 20001026
A3 20010104
    ______
                                            WO 2000-US8727 20000414
                              20001026
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
         SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       A2 20020123 EP 2000-921593 20000414
     EP 1173471
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                             BR 2000-9731
                                                                20000414
                              20020305
     BR 2000009731 A
     JP 2002542257 T2 20021210
NO 2001004937 A 20011213
US 6630147 B1 20031007
                                             JP 2000-612327 20000414
                              20021210
                                             NO 2001-4937
                                                                20011010
                              20011213
                                                               20011015
                                             US 2001-958995
                                             US 2003-636376 20030807
     US 2004067879 A1 20040408
                                           US 1999-129447P P 19990415
PRIORITY APPLN. INFO.:
                                           WO 2000-US8727 W 20000414
                                           US 2001-958995 A3 20011015
     The invention discloses a process for the production of the antifungal natural
AΒ
     products pseudomycin A' and pseudomycin B' by Pseudomonas syringae and the
     various methods for employing the antifungal activity of these
     pseudomycins. Pseudomycins A' and B' exhibit antifungal activity against
     Candida albicans, Candida parapsilosis, Cryptococcus neoformans,
     Aspergillus fumigatus, and Histoplasma capsulatum. NMR and mass
     spectrometry indicate structure for pseudomycin A' and structure for
     pseudomycin B'. Also covered in the claims is the administration of these
     compds. and their salts by means of capsules, aerosols, tablets,
     suppositories and i.v. solns.
     301533-14-2P, Pseudomycin A' 301533-15-3P, Pseudomycin
IT
     RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
```

(pseudomycin antifungal natural products)

301533-14-2 HCAPLUS RN

L-Threonine, N-(3,4-dihydroxy-1-oxopentadecyl)-L-seryl-(2R)-2,4- $\label{eq:diaminobutanoyl-L-diaminobutanoyl-L$ allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L- $\alpha$ -aspartyl-4chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)

RN 301533-15-3 HCAPLUS CN L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L- $\alpha$ -aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2T)-2amino-2-butenoyl-(3S)-3-hydroxy-L- $\alpha$ -aspartyl-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)

L59 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2000:756539 HCAPLUS

133:325645

TITLE:

Pseudomycin antifungal compositions and methods for

their use

INVENTOR(S):

Vasudevan, Venkatraghavan; Jones, Thomas Warren; Rodriguez, Michael John; Sweetana, Stephanie Ann

PATENT ASSIGNEE(S):

Eli Lilly and Co., USA PCT Int. Appl., 41 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO. DATE
                                  KIND DATE
       PATENT NO.
                                                                          _____
                                               _____
       _____
                                                                        WO 2000-US8725 20000414
       WO 2000062793 A2
                                                20001026
                                                20010118
       WO 2000062793
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              W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
                     CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, CALL, 
                      SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
                      ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
              RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
                      DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                      CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                BR 2000-9778
                                                                                                         20000414
                                   A 20020102
A2 20020116
        BR 2000009778
                                                                                                         20000414
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        EP 1171150
                      IE, SI, LT, LV, FI, RO
                                 T2
                                                                                                         20000414
                                                                           JP 2000-611929
                                                 20021210
        JP 2002542201
                                                                                                         20011012
                                                                          NO 2001-4988
                                                 20011203
                                       Α
        NO 2001004988
                                                                      US 1999-129435P P 19990415
PRIORITY APPLN. INFO.:
                                                                      WO 2000-US8725 W 20000414
                                           MARPAT 133:325645
OTHER SOURCE(S):
        Methods and compns. for treating fungal infections that include
        formulations of a pseudomycin or related lipodepsidecapeptide antifungal
        agent and a cyclodextrin are described. The compns. are particularly
        useful in pharmaceutical applications to reduce adverse effects.
        Pseudomycin B (50 mg/kg/day) was administered for 14 days to rats as an
        i.v. bolus in either 4 weight% hydroxypropyl-\beta-cyclodextrin (HPCD) or
        \gamma-cyclodextrin in pH 5.0 acetate buffer. The HPCD vehicle provided
        protection from adverse effects of pseudomycin B. As a result, daily
        doses of the HPCD formulation were administered for the full 2 wk of the
        study. There was some evidence of slight adverse effect at the site of
         injection at the cross microscopic level. However, \gamma-CD vehicle did
        not provide adequate protection from adverse effects of pseudomycin B in
         this study. After only the first dose swelling and discoloration of the
         tail was noted becoming severe enough to prevent dosing by day 2.
         139203-13-7, Pseudomycin A 139203-14-8, Pseudomycin B
ΙT
         139203-15-9, Pseudomycin C 162443-73-4, Pseudomycin C'
         301533-14-2, Pseudomycin A' 301533-15-3, Pseudomycin B'
         303127-72-2, Pseudomycin B hydrate
         RL: ADV (Adverse effect, including toxicity); AGR (Agricultural use); BAC
         (Biological activity or effector, except adverse); BSU (Biological study,
         unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
               (antifungal compns. containing pseudomycin or related lipodepsidecapeptide
               and cyclodextrin)
         139203-13-7 HCAPLUS
 RN
         Pseudomycin A (9CI) (CA INDEX NAME)
 CN
```

RN 139203-14-8 HCAPLUS CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS CN Pseudomycin C (9CI) (CA INDEX NAME)

RN 162443-73-4 HCAPLUS
CN Pseudomycin C' (9CI) (CA INDEX NAME)

RN 301533-14-2 HCAPLUS

CN L-Threonine, N-(3,4-dihydroxy-1-oxopentadecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L- $\alpha$ -aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L- $\alpha$ -aspartyl-4-chloro-, (9 $\rightarrow$ 13)-lactone (9CI) (CA INDEX NAME)

RN 307557-86-4 HCAPLUS
CN Pseudomycin A, 1-[N-(3-hydroxy-1-oxooctadecyl)-L-serine]-2-[(2R)-2-amino-4[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

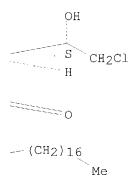
Double bond geometry as shown.

PAGE 1-C

Absolute stereochemistry.

Double bond geometry as shown.

[[(phenylmethoxy)carbonyl]amino]butanoic acid] - (9CI) (CA INDEX NAME)



### IT 277758-37-9P 307557-84-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pseudomycin side-chain analogs as fungicides)

RN 277758-37-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-C

RN 307557-84-2 HCAPLUS

CN Pseudomycin B, 1-[N-[(3S)-3-hydroxy-1-oxotetradecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ОН `CH2Cl --- (CH<sub>2</sub>)<sub>10</sub> Ме

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:619251 HCAPLUS

DOCUMENT NUMBER:

133:362946

TITLE:

Syntheses and antifungal activity of pseudomycin

side-chain analogs. Part 1

AUTHOR(S):

Jamison, J.; Levy, S.; Sun, X.; Zeckner, D.; Current,

W.; Zweifel, M.; Rodriguez, M.; Turner, W.; Chen,

S.-H.

CORPORATE SOURCE:

Lilly Corporate Center, A Division of Eli Lilly and Company, Lilly Research Laboratories, Indianapolis,

IN, 46285, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2000),

10(18), 2101-2105

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 133:362946

- We have described herein the syntheses of three novel series of aromatic ring containing pseudomycin side-chain analogs. Preliminary biol. evaluations of these analogs clearly indicate that it is possible to synthesize rigid pseudomycin side-chain analogs without compromising in vitro antifungal activity.
- 139203-13-7, Pseudomycin A 139203-14-8, Pseudomycin B 139203-15-9, Pseudomycin C

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(preparation and antifungal activity of pseudomycin side-chain analogs)

RN 139203-13-7 HCAPLUS

CN Pseudomycin A (9CI) (CA INDEX NAME)

RN 139203-14-8 HCAPLUS CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS CN Pseudomycin C (9CI) (CA INDEX NAME)

IT 307498-30-2P 307498-31-3P 307498-32-4P 307498-33-5P 307498-34-6P 307498-35-7P 307498-52-8P 307498-53-9P 307498-54-0P 307498-75-5P 307498-76-6P 307498-77-7P 307498-78-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antifungal activity of pseudomycin side-chain analogs)

RN 307498-30-2 HCAPLUS CN Pseudomycin A. 1-[N-

Pseudomycin A, 1-[N-[(3S)-3-hydroxy-3-(3-octylphenyl)-1-oxopropyl]-L-serine]- (9CI) (CA INDEX NAME)

(CH<sub>2</sub>)7 Me

PAGE 2-A

RN 307498-31-3 HCAPLUS
CN Pseudomycin A, 1-[N-[(3S)-3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-L-serine]- (9CI) (CA INDEX NAME)

 $\sim$  (CH<sub>2</sub>)<sub>11</sub>-Me

PAGE 2-A

RN 307498-32-4 HCAPLUS

CN Pseudomycin A, 1-[N-[(3S)-3-hydroxy-1-oxo-3-[3-(undecyloxy)phenyl]propyl]-L-serine]- (9CI) (CA INDEX NAME)

~ O- (CH<sub>2</sub>)<sub>10</sub>-Me

PAGE 2-A

RN 307498-33-5 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-3-(3-octylphenyl)-1-oxopropyl]-L-serine]- (9CI) (CA INDEX NAME)

CH<sub>2</sub>)7−Me

PAGE 2-A

RN 307498-34-6 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-Lserine]- (9CI) (CA INDEX NAME)

 $\sim$  (CH<sub>2</sub>)<sub>11</sub>-Me

PAGE 2-A

RN 307498-35-7 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxo-3-[3-(undecyloxy)phenyl]propyl]L-serine]- (9CI) (CA INDEX NAME)

O-(CH2)10-Me

PAGE 2-A

RN 307498-52-8 HCAPLUS
CN Pseudomycin A, 1-[N-[3-hydroxy-4-[3-(octyloxy)phenyl]-1-oxobutyl]-Lserine]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 307498-53-9 HCAPLUS
CN Pseudomycin A, 1-[N-[4-[3-(hexyloxy)phenyl]-3-hydroxy-1-oxobutyl]-Lserine]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 307498-54-0 HCAPLUS

CN Pseudomycin A, 1-[N-[4-[3-(decyloxy)phenyl]-3-hydroxy-1-oxobutyl]-L-serine]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 307498-75-5 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxo-5-[3-(pentyloxy)phenyl]pentyl]-L-serine]- (9CI) (CA INDEX NAME)

$$\stackrel{R}{\parallel}$$
 Me-CH

PAGE 2-A

RN 307498-76-6 HCAPLUS
CN Pseudomycin A, 1-[N-[(3S)-3-hydroxy-1-oxo-5-[3-(pentyloxy)phenyl]pentyl]-L-serine]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 307498-77-7 HCAPLUS
CN Pseudomycin A, 1-[N-[5-[3-(heptyloxy)phenyl]-3-hydroxy-1-oxopentyl]-Lserine]- (9CI) (CA:INDEX NAME)

$$\begin{array}{c} R \\ \backslash \backslash \\ \text{Me-CH} \end{array}$$

PAGE 2-A

RN 307498-78-8 HCAPLUS
CN Pseudomycin A, 1-[N-[3-hydroxy-5-[3-(nonyloxy)phenyl]-1-oxopentyl]-Lserine]- (9CI) (CA INDEX NAME)

$$\stackrel{R}{\parallel}$$
 Me—CH

PAGE 2-A

IT 307498-27-7P 307498-28-8P 307498-29-9P 307498-49-3P 307498-50-6P 307498-51-7P 307498-69-7P 307498-70-0P 307498-71-1P 307498-72-2P 307498-74-4P

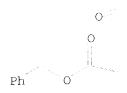
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antifungal activity of pseudomycin side-chain analogs)

RN 307498-27-7 HCAPLUS

CN Pseudomycin A, 1-[N-[3-hydroxy-3-(3-octylphenyl)-1-oxopropyl]-L-serine]-2[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic
acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.



PAGE 1-C

0

RN 307498-28-8 HCAPLUS
CN Pseudomycin A, 1-[N-[3-(3-dodecylphenyl)-3-hydroxy-1-oxopropyl]-L-serine]2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic
acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-

[[(phenylmethoxy)carbonyl]amino]butanoic acid] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-C

₹ 0

RN 307498-29-9 HCAPLUS

CN Pseudomycin A, 1-[N-[3-hydroxy-1-oxo-3-[3-(undecyloxy)phenyl]propyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

,0 ,0

0

Ph

Ph

PAGE 1-C

RN 307498-49-3 HCAPLUS
CN Pseudomycin A, 1-[N-[3-hydroxy-4-[3-(octyloxy)phenyl]-1-oxobutyl]-Lserine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic
acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-C

RN 307498-50-6 HCAPLUS

Pseudomycin A, 1-[N-[4-[3-(hexyloxy)phenyl]-3-hydroxy-1-oxobutyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-C

RN 307498-51-7 HCAPLUS

CN Pseudomycin A, 1-[N-[4-[3-(decyloxy)phenyl]-3-hydroxy-1-oxobutyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-C

RN 307498-69-7 HCAPLUS

Pseudomycin A, 1-[N-[3-hydroxy-1-oxo-5-[3-(pentyloxy)phenyl]pentyl]-Lserine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic
acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 2-A

CH2 CO2H

R2 \\\\ Me CH

RN 307498-70-0 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxo-5-[3-(pentyloxy)phenyl]pentyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$- CH_2 - CH = CH_2$$

OH

 $- CH - CH_2 - CH_2$ 

O- (CH<sub>2</sub>) 4-Me

PAGE 2-A

$$H_2C = CH - CH_2 - O - C - NH CH_2 - CH_2$$

R3

RN 307498-71-1 HCAPLUS

CN Pseudomycin A, 1-[N-[(3S)-3-hydroxy-1-oxo-5-[3-(pentyloxy)phenyl]pentyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-CH_2-CH = CH_2$$

OH

 $-CH$ 
 $-CH$ 
 $-CH_2-CH_2$ 

O- (CH<sub>2</sub>) 4 Me

PAGE 2-A

RN 307498-72-2 HCAPLUS

CN Pseudomycin A, 1-[N-[5-[3-(heptyloxy)phenyl]-3-hydroxy-1-oxopentyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

RN 307498-74-4 HCAPLUS

CN Pseudomycin A, 1-[N-[3-hydroxy-5-[3-(nonyloxy)phenyl]-1-oxopentyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

PAGE 2-A

$$_{\rm H_2C}=$$
 CH $_{\rm CH_2}-$  O $_{\rm C}-$  NH $_{\rm CH_2}-$  CH $_{\rm CH_2}-$  CH $_{\rm CH_2}-$  R3

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

10

ACCESSION NUMBER:

2000:269124 HCAPLUS

DOCUMENT NUMBER:

133:59075

TITLE:

Serendipitous synthesis of novel dehydro- and

dechloro-pseudomycin B (PSB) derivatives

AUTHOR(S):

Zhang, Yanzhi; Boyer, Robert; Sun, Xicheng; Paschal,

Jonathan; Chen, Shu-Hui

CORPORATE SOURCE:

A Division of Eli Lilly and Company, Lilly Corporate Center, Lilly Research Laboratories, Indianapolis, IN,

46285, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2000),

10(8), 775-778

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S): CASREACT 133:59075

AB The syntheses and preliminary investigation of antifungal activities of two dehydro PSB derivs. as well as one 3-imido-9-dechloro PSB analog are described.

IT 139203-14-8P, Pseudomycin B

RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation)

(preparation and antifungal activity of dehydro- and dechloro-pseudomycin B derivs.)

RN 139203-14-8 HCAPLUS

# CN Pseudomycin B (9CI) (CA INDEX NAME)

#### IT 277758-37-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and antifungal activity of dehydro- and dechloro-pseudomycin B
 derivs.)

RN 277758-37-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

#### PAGE 1-C

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS 5 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L59 ANSWER 22 OF 26

ACCESSION NUMBER: DOCUMENT NUMBER:

130:77315

1998:711608 HCAPLUS

TITLE:

Solution conformation of the Pseudomonas syringae MSU 16H phytotoxic lipodepsipeptide pseudomycin A

determined by computer simulations using distance geometry and molecular dynamics from NMR data Coiro, Vincenza Maria; Segre, Anna Laura; Di Nola, Alfredo; Paci, Maurizio; Grottesi, Alessandro; Veglia,

AUTHOR(S):

Gianluigi; Ballio, Alessandro

Istituto di Strutturistica Chimica "G. Giacomello", CORPORATE SOURCE:

CNR, Montelibretti, Rome, Italy

European Journal of Biochemistry (1998), 257(2), SOURCE:

449-456

CODEN: EJBCAI; ISSN: 0014-2956

Springer-Verlag PUBLISHER:

DOCUMENT TYPE:

ΙT

Journal

English LANGUAGE:

Pseudomycin A is a cyclic lipodepsinonapeptide phytotoxin produced by a strain of the plant pathogenic bacterium P. syringae. Like other members of this family of bacterial metabolites, it is characterized by a fatty acylated cyclic peptide with mixed chirality and lactonic closure. Several biol. activities of pseudomycin A are lower than those found for some of its congeners, a difference which might depend on the diverse number and distribution of charged residues in the peptide moiety. Hence, it was of interest to investigate its conformation in solution. After the complete interpretation of the 2-dimensional NMR spectra, NOE data were obtained and the structure was determined by computer simulations, applying distance geometry and mol. dynamics procedures. The conformation of the large ring of pseudomycin A in solution includes 3 rigid structural regions interrupted by 3 short flexible regions that act as hinges. The overall 3-dimensional structure of the cyclic moiety is similar to that of previously studied bioactive lipodepsinonapeptides produced by other pseudomonads.

139203-13-7, Pseudomycin A

RL: PRP (Properties)

(solution conformation of Pseudomonas syringae MSU 16H phytotoxic lipodepsipeptide pseudomycin A determined by computer simulations using distance geometry and mol. dynamics from NMR data)

139203-13-7 HCAPLUS RN

Pseudomycin A (9CI) (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS 44 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

1997:478225 HCAPLUS ACCESSION NUMBER:

127:91566 DOCUMENT NUMBER:

Biological activities of pseudomycin A, a TITLE:

lipodepsinonapeptide from Pseudomonas syringae MSU 16H

Di Giorgio, Domenico; Camoni, Lorenzo; Marchiafava, AUTHOR(S):

Camilla; Ballio, Alessandro

Dipartimento di Scienze Biochimiche "A. Rossi-Fanelli" CORPORATE SOURCE:

e Centro di Biologia Molecolare del CNR, Universita

"La Sapienza", Rome, Italy

Phytochemistry (1997), 45(7), 1385-1391 CODEN: PYTCAS; ISSN: 0031-9422 SOURCE:

Elsevier PUBLISHER: DOCUMENT TYPE: Journal English LANGUAGE:

Similarly to other Pseudomonas lipodepsinonapeptides, pseudomycin A AB inhibits proton extrusion from maize roots, promotes closure of stomata in Vicia faba, necrosis of tobacco leaves, hemolysis of human erythrocytes, affects H+-ATPase activity and proton translocation in plasma membrane vesicles, and stimulates succinate respiration in pea mitochondria. In general, the biol. activities of pseudomycin A are lower than those of

syringomycin-E, the prototype member of this family of bacterial metabolites. This difference might depend on the diverse number and distribution of charged residues in the peptide moiety of these compds.

139203-13-7, Pseudomycin A ΙΤ

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (phytotoxic activities of)

139203-13-7 HCAPLUS RN

Pseudomycin A (9CI) (CA INDEX NAME) CN

L59 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:713650 HCAPLUS

DOCUMENT NUMBER:

126:54850

TITLE:

Peptides from Pseudomonas syringae possessing

broad-spectrum antibiotic activity

INVENTOR(S):

Strobel, Gary A.; Harrison, Leslie A.; Teplow, David

PATENT ASSIGNEE(S):

Research and Development Institute, Inc. At Montana

State University, USA

SOURCE:

U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 982,687,

abandoned. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5576298	А	19961119	US 1994-305943	19940915
US 5837685	A	19981117	US 1996-673775	19960627
US 5981264	A	19991109	US 1998-13923	19980127
PRIORITY APPLN.	INFO.:		US 1992-982687	19921130
			US 1994-305943	19940915
			US 1996-673775	19960627

AB Peptide antimycotics, termed pseudomycins, display broad spectrum antibiotic activity, and in particular are highly effective, non-toxic antibiotics against fungal pathogens of human and animal disease. The peptide antimycotics (pseudomycins) may be used in the treatment of the fungal pathogen Candida albicans. Also disclosed is a method of purification and isolation, including characterization, of the pseudomycins.

139203-13-7P, Pseudomycin A 139203-14-8P, Pseudomycin B
139203-15-9P, Pseudomycin C

RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pseudomycin peptides from Pseudomonas syringae with antibiotic activity)

RN 139203-13-7 HCAPLUS

CN Pseudomycin A (9CI) (CA INDEX NAME)

RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS CN Pseudomycin C (9CI) (CA INDEX NAME)

IT 162443-73-4, Pseudomycin C'

RL: PRP (Properties)

(pseudomycin peptides from Pseudomonas syringae with antibiotic activity)

RN 162443-73-4 HCAPLUS

CN Pseudomycin C' (9CI) (CA INDEX NAME)

L59 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1995:232029 HCAPLUS

DOCUMENT NUMBER:

122:234902

TITLE:

Novel bioactive lipodepsipeptides from Pseudomonas

syringae: the pseudomycins

AUTHOR(S):

Ballio, A.; Bossa, F.; Di Giorgio, D.; Ferranti, P.; Paci, M.; Pucci, P.; Scaloni, A.; Segre, A.; Strobel,

G. A.

CORPORATE SOURCE:

Dipartimento di Scienze Biochimiche 'A. Rossi Fanelli'

e Centro di Biologia Molecolare del CNR, Universita 'La Sapienza', Roma, 00185, Italy

SOURCE:

FEBS Letters (1994), 355(1), 96-100

CODEN: FEBLAL; ISSN: 0014-5793

PUBLISHER:

DOCUMENT TYPE: LANGUAGE: Elsevier Journal English

The covalent structure and most of the stereochem. of the pseudomycins, bioactive metabolites of a transposon-generated mutant of a P. syringae wild-type strain proposed for the biol. control of Dutch elm disease, were determined While 2 pseudomycins are identical to the known syringopeptins 25-A and 25-B, pseudomycins A, B, C, and C' are new lipodepsinonapeptides. For all of these the peptide moiety corresponds to L-Ser-D-Dab-L-Asp-L-Lys-L-Dab-L-aThr-Z-Dhb-L-Asp(3-OH)-L-Thr(4-Cl), with the terminal carboxyl group closing a macrocyclic ring on the OH group of the N-terminal Ser. This is in turn N-acylated by 3,4-dihydroxytetradecanoate in pseudomycin A, by 3-hydroxytetradecanoate in pseudomycin B, by 3,4-dihydroxyhexadecanoate in pseudomycin C'. Some preliminary data on the biol. activity of pseudomycin A are reported.

IT 139203-13-7, Pseudomycin A

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)

(pseudomycins, novel bioactive lipodepsipeptides from Pseudomonas syringae)

RN 139203-13-7 HCAPLUS

CN Pseudomycin A (9CI) (CA INDEX NAME)

CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS CN Pseudomycin C (9CI) (CA INDEX NAME)

RN 162443-73-4 HCAPLUS CN Pseudomycin C' (9CI) (CA INDEX NAME)

L59 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:80065 HCAPLUS

DOCUMENT NUMBER: 116:80065

TITLE: Pseudomycins, a family of novel peptides from

Pseudomonas syringae possessing broad-spectrum

antifungal activity

AUTHOR(S): Harrison, Leslie; Teplow, David B.; Rinaldi, Michael;

Strobel, Gary

CORPORATE SOURCE: Dep. Plant Pathol., Montana State Univ., Bozeman, MT,

59717, USA

SOURCE: Journal of General Microbiology (1991), 137(12),

2857-65

CODEN: JGMIAN; ISSN: 0022-1287

DOCUMENT TYPE: Journal LANGUAGE: English

AB A family of peptide antimycotics, termed pseudomycins, was isolated from liquid cultures of P. syringae, a plant-associated bacterium. These compds. were purified using Amberlite XAD-2 and reverse-phase liquid chromatog.

Pseudomycin A, the predominant peptide in a family of 4, showed selective phytotoxicity and had impressive activity against the human pathogen Candida albicans. Amino acid, mass spectroscopic, and comparative electrophoretic and chromatog. analyses revealed that the pseudomycins are different from previously described antimycotics from P. syringae, including syringomycin, syringotoxin, and syringostatins. Pseudomycins A-C contain hydroxyaspartic acid, aspartic acid, serine, arginine, lysine, and diaminobutyric acid. The mol. masses of pseudomycins A-C, as determined by plasma desorption mass spectrometry, are 1224, 1208, and 1252 Da, resp. Pseudomycin D, on the other hand, has a mol. mass of 2401 Da and is more complex than pseudomycins A-C.

IT 139203-13-7, Pseudomycin A 139203-14-8, Pseudomycin B
139203-15-9, Pseudomycin C

RL: BIOL (Biological study)

(antifungal antibiotic, from Pseudomonas syringae)

RN 139203-13-7 HCAPLUS

CN Pseudomycin A (9CI) (CA INDEX NAME)

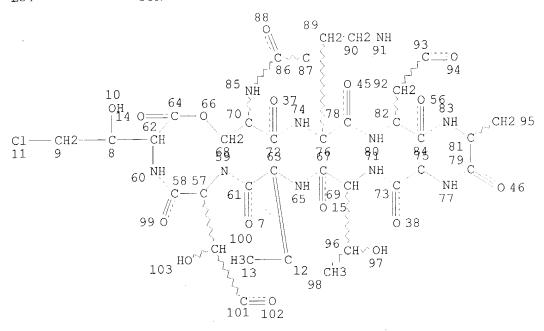
RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)

RN 139203-15-9 HCAPLUS

CN Pseudomycin C (9CI) (CA INDEX NAME)

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NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 61

STEREO ATTRIBUTES: NONE

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т 5.7	26	SEA	FILE=HCAPLUS	ARR=C	I NC	.56

L58 7 SEA FILE=HCAPLUS ABB=ON L57 AND ?PRODRUG?

L59 26 SEA FILE=HCAPLUS ABB=ON L57 OR L58

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             1 SEA ABB=ON "PSEUDOMYCIN A"/CN
^{18}
               STRUCTURE
L9
            9 SEA SSS SAM L9
L10
          197 SEA SSS FUL L9
L11
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          115 SEA ABB=ON L11
    FILE 'REGISTRY' ENTERED AT 16:01:23 ON 26 MAY 2004
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L13
             9 SEA SSS SAM L13
               DIS L13
               STR L13
L15
              STR L13
L16
             9 SEA SSS SAM L16
L17
    FILE 'HCAPLUS' ENTERED AT 17:19:59 ON 26 MAY 2004
      7 SEA ABB=ON L12 AND ?PRODRUG?
    FILE 'REGISTRY' ENTERED AT 17:23:23 ON 26 MAY 2004
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L19
               STR L16
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             O SEA SSS SAM L20
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             O SEA SSS FUL L20
L22
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T <sub>1</sub> 58	7 SEA ABB=ON L57 AND ?PRODRUG?
L59	26 SEA ABB=ON L57 OR L58
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	"produce; all fare
	7 SEA ABB=ON L57 AND ?PRODRUG? 26 SEA ABB=ON L57 OR L58 SAV L59 MAY654L59/A 26 cits from "prodrug; all 7 are FILE 'REGISTRY' ENTERED AT 18:04:56 ON 26 MAY 2004 SAV L56 AY654L56/A  SAV L56 AY654L56/A
	SAV L56 AY654L56/A applicants